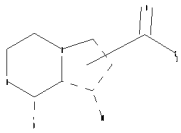
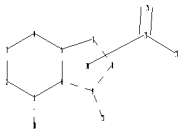
=>
Uploading C:\Program Files\Stnexp\Queries\10526280.str





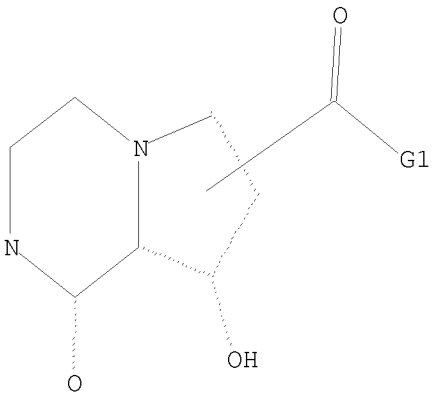
chain nodes :
10 11 13 15 17
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
1-10 9-11 13-15 13-17
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
1-2 1-6 1-10 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 9-11 13-15 13-17
isolated ring systems :
containing 1 :

G1:O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 13:CLASS 14:CLASS 15:CLASS 17:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam
SAMPLE SEARCH INITIATED 08:19:38 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 351 TO ITERATE

100.0% PROCESSED 351 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 5896 TO 8144
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss ful
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FULL SCREEN SEARCH COMPLETED - 7456 TO ITERATE

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SEARCH TIME: 00.00.01

L3 22 SEA SSS FUL L1

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L4 5 L3

=> d l4 1-5 bib,ab,hitstr

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:485679 CAPLUS
DN 146:482093
TI Substituted hydroxytetrahydropyrrolopyrazinones and substituted hydroxytetrahydropyrazolopyrazinones, processes for preparing them, pharmaceutical compositions containing them, and their use as HIV integrase inhibitors
IN Wai, John S.; Williams, Peter D.; Lyle, Terry A.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 51pp.
CODEN: PIXXD2
DT Patent
LA English
not prior
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007050510	A2	20070503	WO 2006-US41280	20061023
WO 2007050510	A3	20071004		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

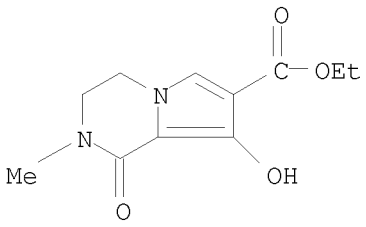
PRAI US 2005-730666P P 20051027

AB The invention relates to substituted hydroxytetrahydropyrrolopyrazinone and substituted hydroxytetrahydropyrazolopyrazinone derivs. [I; A = saturated, partially saturated, or aromatic hetero(mono/bi)cyclic ring containing 1-4 heteroatoms N, O or S and substituted by QR5; X = N, CH, C-(alkyl); R1 = H, (un)substituted alkyl, cycloalkyl; R2 = H, alkyl; R3 = H, (un)substituted alkyl; R4 = H, (un)substituted alk(yl/enyl/ynyl), N-containing group, etc.; Q = C1-6 alkylene, NR6, O, CO, CHOR6, SO2, CF2; R5 = C3-8 cycloalkyl, aryl, bicyclic carbocycle, heterocycle, etc.; R6 = H, C1-6 alkyl, aryl, heterocycle, etc.] processes for preparing them, pharmaceutical prepns. comprising them, and their pharmaceutical use. I are are inhibitors of HIV integrase and inhibitors of HIV replication, useful in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS. For instance, the invention compound II was prepared from N-(2,2-dimethoxyethyl)-N-methylamine and N-Cbz-glycine in 9 steps. Compds. I had IC50 values of ≤ 1 μM in an HIV integrase assay and IC50 values of <35 μM in an assay for measuring the inhibition of acute HIV infection with HeLa P4-2 cells in a single cycle infectivity assay.

IT 701208-31-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of substituted hydroxytetrahydropyrrolopyrazinones and hydroxytetrahydropyrazolopyrazinones as inhibitors of HIV integrase)

RN 701208-31-3 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-7-carboxylic acid, 1,2,3,4-tetrahydro-8-hydroxy-2-

methyl-1-oxo-, ethyl ester (CA INDEX NAME)



L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1240816 CAPLUS
DN 144:6813
TI Pyrazinopyrrolopyridazines as HIV integrase inhibitors, their preparation, pharmaceutical compositions, and use to prevent or treat HIV infection
IN Wai, John S.; Vacca, Joseph P.; Zhuang, Linghang; Kim, Boyoung; Lyle, Terry A.; Wiscount, Catherine M.; Egbertson, Melissa S.; Neilson, Lou Anne; Embrey, Mark; Fisher, Thorsten E.; Staas, Donnette D.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005110415	A1	20051124	WO 2005-US15334	20050503

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

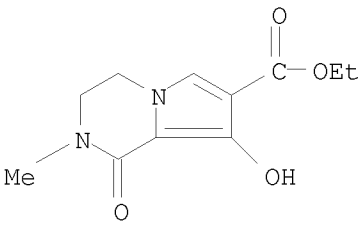
PRAI US 2004-569150P P 20040507
OS MARPAT 144:6813
AB The invention relates to hydroxy-substituted pyrazinopyrrolopyridazinedione compds. of formula I, which are inhibitors of HIV integrase and inhibitors of HIV replication. In compds. I, R1 is C1-4 alkyl or (un)substituted C3-6 cycloalkyl-C1-4 alkyl; R2 is H or C1-4 alkyl, or R1 and R2 form (un)substituted -(CH2)n-, where n is 3-5, resulting in a 5- to 7-membered heterocyclic ring; R3 is H or (un)substituted C1-4 alkyl, or R2 and R3 together with the carbon atoms, to which they are bonded, form (un)substituted 3- to 6-membered carbocycle, (un)substituted benzene, or (un)substituted 6-membered heteroaryl ring containing 1 or 2 nitrogen atoms; R4 is selected from H, OH, CN, halo, nitro, (un)substituted C1-4 alkyl, C1-4 (halo)alkoxy, (un)substituted amino, etc.; L is CH2, CH2CH2, or CH(CH3); R5 is (un)substituted Ph or (un)substituted 9- or 10-membered benzo-fused heterocyclic ring containing 1 or 2 heteroatoms independently selected from N, O, and S; R6 is H; and R7 is H or C1-4 alkyl, or R3 and R7, together with the carbon atom to which they are attached, form a 3- to 6-membered saturated carbocycle. The invention also relates to the preparation of I, pharmaceutical compns. comprising an effective amount of compound I, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier; as well as to the use of the compns. in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS. Coupling of N-Cbz-glycine with N-(2,2-dimethoxyethyl)-N-methylamine followed by cyclization and hydrogenation gave piperazinone II, which underwent cyclocondensation with di-Et (ethoxymethylene)malonate, O-benzylation, and bromination, resulting in the formation of pyrrolopyrazine III. III was acetylated followed by

cyclization with 4-fluorobenzyl hydrazine and debenzylation to give pyrazinopyrrolopyridazine IV. The compds. of the invention express IC50 values of less than 1 μM in an HIV integrase assay for inhibition of strand transfer activity and IC95 values of less than 10 μM in an assay for inhibition of HIV replication.

IT 701208-31-3P, Ethyl 8-hydroxy-2-methyl-1-oxo-1,2,3,4-tetrahydropyrrolo[1,2-a]pyrazine-7-carboxylate 851727-07-6P, Ethyl (4S)-2-ethyl-8-hydroxy-4-methyl-1-oxo-1,2,3,4-tetrahydropyrrolo[1,2-a]pyrazin-7-carboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrazinopyrrolopyridazines as HIV integrase inhibitors)

RN 701208-31-3 CAPLUS

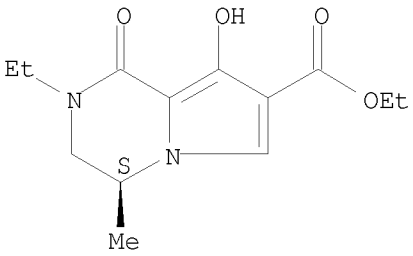
CN Pyrrolo[1,2-a]pyrazine-7-carboxylic acid, 1,2,3,4-tetrahydro-8-hydroxy-2-methyl-1-oxo-, ethyl ester (CA INDEX NAME)



RN 851727-07-6 CAPLUS

CN Pyrrolo[1,2-a]pyrazine-7-carboxylic acid, 2-ethyl-1,2,3,4-tetrahydro-8-hydroxy-4-methyl-1-oxo-, ethyl ester, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1240815 CAPLUS
DN 144:6812
TI Preparation of hydroxy substituted pyrazinopyrrolopyridazine dione derivatives as HIV integrase inhibitors
IN Wai, John S.; Vacca, Joseph P.; Zhuang, Linghang; Kim, Boyoung; Lyle, Terry A.; Wiscount, Catherine M.; Egbertson, Melissa S.; Neilson, Lou Anne; Embrey, Mark; Fisher, Thorsten E.; Staas, Donnette D.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 197 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005110414	A2	20051124	WO 2005-US15200	20050503
	WO 2005110414	A3	20060216		
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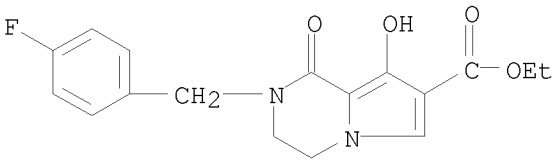
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2005244157	A1	20051124	AU 2005-244157	20050503
	CA 2564372	A1	20051124	CA 2005-2564372	20050503
	EP 1756114	A2	20070228	EP 2005-743968	20050503
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	CN 1964975	A	20070516	CN 2005-80014492	20050503
	IN 2006DN06367	A	20070831	IN 2006-DN6367	20061030
PRAI	US 2004-569150P	P	20040507		
	WO 2005-US15200	W	20050503		

OS CASREACT 144:6812; MARPAT 144:6812
AB Title compds. I [R1 = (un)substituted alkyl, cycloalkyl, cycloalkylalkyl, etc.;R2 = H, haloalkyl, alkyl, etc.; or R1 and R2 together form a 5-7 membered saturated heterocycle; R3 = H, haloalkyl, hydroxyalkyl, etc.; or R2 and R3 together form a (un)substituted carbocycle, heterocycle, heteroaryl, or benzene ring; R4 = H, OH, CN, NO2, etc.; R5 = (un)substituted alkyl, cycloalkyl, cycloalkylalkyl, etc.; R6 and R7 independently = H or alkyl; or R3 and R7 together form a (un)substituted carbocycle or heterocycle], and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of HIV integrase and inhibitors of HIV replication. Thus, e.g., II was prepared in a multistep synthesis from N-(2,2-dimethoxyethyl)-N-(4-fluorobenzyl)amine which was obtained by reaction of 4-fluorobenzaldehyde with dimethoxyethylamine. In assays for inhibition of HIV integrase, I exhibited IC50 values of less than 1 μM while in assays for inhibition of HIV replication I exhibited IC95's of less than 10 μM. The compds. are useful in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS. The compds. are employed against HIV infection and

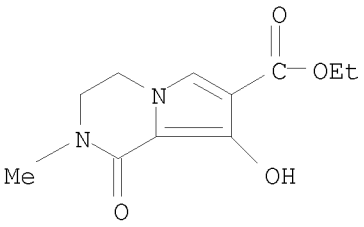
AIDS as compds. per se or in the form of pharmaceutically acceptable salts. The compds. and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.

IT 701208-13-1P 701208-31-3P 851727-07-6P
870006-56-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of hydroxy substituted pyrazinopyrrolopyridazine dione derivs. as HIV integrase inhibitors)

RN 701208-13-1 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-7-carboxylic acid, 2-[(4-fluorophenyl)methyl]-1,2,3,4-tetrahydro-8-hydroxy-1-oxo-, ethyl ester (CA INDEX NAME)

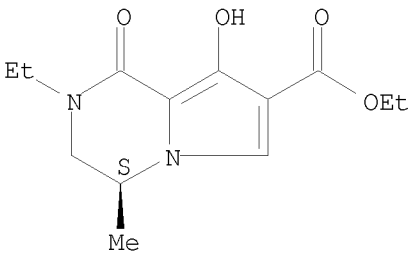


RN 701208-31-3 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-7-carboxylic acid, 1,2,3,4-tetrahydro-8-hydroxy-2-methyl-1-oxo-, ethyl ester (CA INDEX NAME)



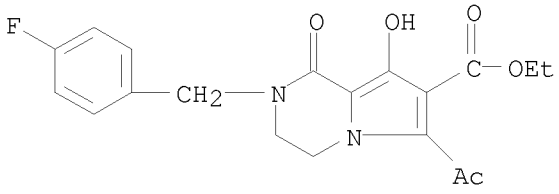
RN 851727-07-6 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-7-carboxylic acid, 2-ethyl-1,2,3,4-tetrahydro-8-hydroxy-4-methyl-1-oxo-, ethyl ester, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 870006-56-7 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-7-carboxylic acid, 6-acetyl-2-[(4-

fluorophenyl)methyl]-1,2,3,4-tetrahydro-8-hydroxy-1-oxo-, ethyl ester (CA INDEX NAME)



L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:405330 CAPLUS
DN 142:463759
TI Preparation of hydroxy pyridopyrrolopyrazine dione compounds useful as HIV integrase inhibitors
IN Wai, John S.; Fisher, Thorsten E.; Zhuang, Linghang; Staas, Donnette D.; Lyle, Terry A.; Kim, Boyoung; Embrey, Mark W.; Wiscount, Catherine M.; Tran, Lekhanh O.; Egbertson, Melissa; Savage, Kelly L.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 181 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005041664	A1	20050512	WO 2004-US34420	20041018
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004285449	A1	20050512	AU 2004-285449	20041018
	CA 2542047	A1	20050512	CA 2004-2542047	20041018
	EP 1677599	A1	20060712	EP 2004-795564	20041018
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
	CN 1870896	A	20061129	CN 2004-80030825	20041018
	JP 2007509149	T	20070412	JP 2006-536698	20041018
	IN 2006DN01547	A	20070810	IN 2006-DN1547	20060322
	US 2007093496	A1	20070426	US 2006-576328	20060419
PRAI	US 2003-512678P	P	20031020		
	WO 2004-US34420	W	20041018		

OS MARPAT 142:463759
AB Title compds. I [bond "m" is either single or double; bond "n" is either single or double and when double, R7 and R8 are absent; the central ring containing A and B is pyrrolyl where one of A or B equals N while the other equals C; R1 = (un)substituted-arylalkyl or -heteroarylalkyl; R2 = H, (un)substituted alkyl; R3 = H, alkenyl, haloalkyl, alkynyl, etc.; R4 = H, (un)substituted-alkyl, -aryl, ester, etc.; R5 = H, (un)substituted alkyl; R6 = H, alkyl, (un)substituted-arylalkyl, etc.; R7 = H, alkyl, or alternatively R5 and R7 together form oxo or thioxo or spirocycloalkyl; R8 = H, alkyl, or alternatively R4 and R8 together form spirocycloalkyl; if R7 and R8 are absent, R4 and R5 together form a (un)substituted-benzene or a -6-membered heteroaryl ring, or a cycloalkane ring], and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of HIV integrase and inhibitors of HIV replication. Thus, e.g., II was prepared via cyclocondensation of Et 3-[N-(3-ethoxy-3-oxopropyl)-N-(4-fluorobenzyl)]amino-3-oxopropanoate (preparation given) to form pyridine III which was sulfonated with trifluoromethanesulfonic acid and reacted with piperazin-2-one under microwave irradiation to provide II. The compds. are

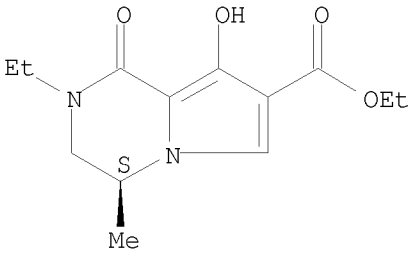
useful in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS. The compds. are employed against HIV infection and AIDS as compds. per se or in the form of pharmaceutically acceptable salts. The compds. and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.

IT 851727-07-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of hydroxypyridopyrrolopyrazine dione derivs. as HIV integrase inhibitors)

RN 851727-07-6 CAPLUS

CN Pyrrolo[1,2-a]pyrazine-7-carboxylic acid, 2-ethyl-1,2,3,4-tetrahydro-8-hydroxy-4-methyl-1-oxo-, ethyl ester, (4S)- (CA INDEX NAME)

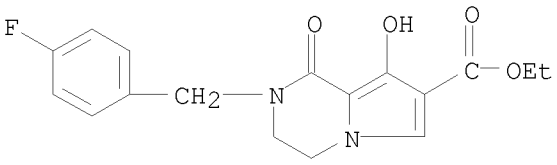
Absolute stereochemistry.



IT 701208-13-1P 701208-15-3P 701208-31-3P
851726-52-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of hydroxypyridopyrrolopyrazine dione derivs. as HIV integrase inhibitors)

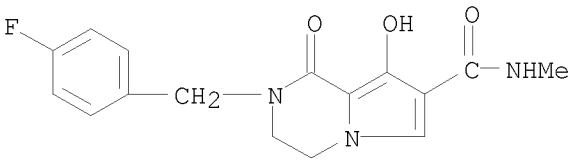
RN 701208-13-1 CAPLUS

CN Pyrrolo[1,2-a]pyrazine-7-carboxylic acid, 2-[(4-fluorophenyl)methyl]-1,2,3,4-tetrahydro-8-hydroxy-1-oxo-, ethyl ester (CA INDEX NAME)

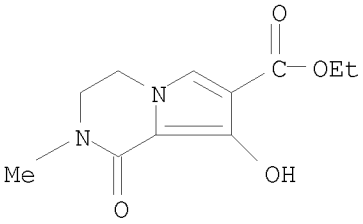


RN 701208-15-3 CAPLUS

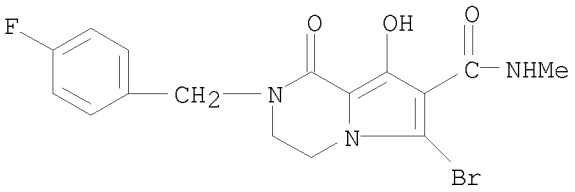
CN Pyrrolo[1,2-a]pyrazine-7-carboxamide, 2-[(4-fluorophenyl)methyl]-1,2,3,4-tetrahydro-8-hydroxy-N-methyl-1-oxo- (CA INDEX NAME)



RN 701208-31-3 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-7-carboxylic acid, 1,2,3,4-tetrahydro-8-hydroxy-2-methyl-1-oxo-, ethyl ester (CA INDEX NAME)



RN 851726-52-8 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-7-carboxamide, 6-bromo-2-[(4-fluorophenyl)methyl]-1,2,3,4-tetrahydro-8-hydroxy-N-methyl-1-oxo- (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:467687 CAPLUS
DN 141:38630
TI Preparation of 8-hydroxy-1-oxo-tetrahydropyrrolopyrazine compounds as HIV integrase inhibitors
IN Wai, John S.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2 Appl. WIPO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004047725	A2	20040610	WO 2003-US28363	20030910
	WO 2004047725	A3	20040930		
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	AU 2003302382	A1	20040618	AU 2003-302382	20030910
	EP 1539714	A2	20050615	EP 2003-812013	20030910
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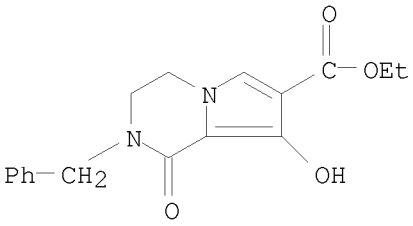
	JP 2005538184	T	20051215	JP 2004-555293	20030910
	US 2005288293	A1	20051229	US 2005-526280	20050301
PRAI	US 2002-409745P	P	20020911		
	WO 2003-US28363	W	20030910		

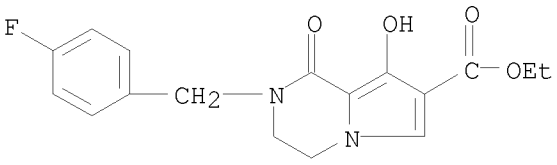
OS MARPAT 141:38630
AB 8-Hydroxy-1-oxo-tetrahydropyrrolopyrazine compds. of formula I [R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl; R3 = H, alkyl, haloalkyl, CN, nitro, etc.; R4 = H, alkyl, acyl, etc.; R5 = H, alkyl; R6 = OH, alkoxy, (substituted) NH2, arylalkoxy, etc.] are prepared as inhibitors of HIV integrase and inhibitors of HIV replication. The compds. are useful in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS. The compds. are employed against HIV infection and AIDS as compds. per se or in the form of pharmaceutically acceptable salts. The compds. and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines. Methods of preventing, treating or delaying the onset of AIDS and methods of preventing or treating infection by HIV are described. Thus, II was prepared from 1-benzylpiperazin-2-one (preparation given) and di-Et ethoxymethylenemalonate. The prepared compds. had IC50 < 1.5 μM against HIV integrase.
IT 701208-11-9P 701208-13-1P 701208-19-7P
701208-23-3P 701208-24-4P 701208-26-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of hydroxyoxo-tetrahydropyrrolopyrazine compds. as HIV integrase inhibitors)

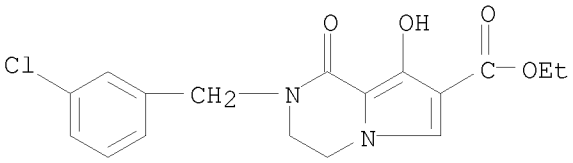
RN 701208-11-9 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-7-carboxylic acid, 1,2,3,4-tetrahydro-8-hydroxy-1-oxo-2-(phenylmethyl)-, ethyl ester (CA INDEX NAME)



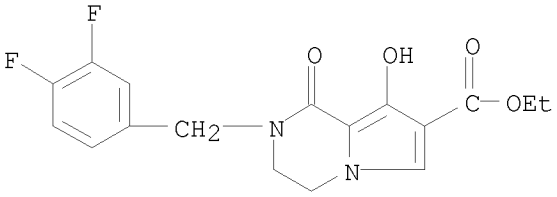
RN 701208-13-1 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-7-carboxylic acid, 2-[(4-fluorophenyl)methyl]-1,2,3,4-tetrahydro-8-hydroxy-1-oxo-, ethyl ester (CA INDEX NAME)



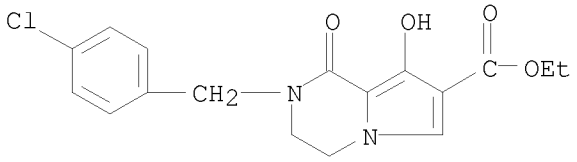
RN 701208-19-7 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-7-carboxylic acid, 2-[(3-chlorophenyl)methyl]-1,2,3,4-tetrahydro-8-hydroxy-1-oxo-, ethyl ester (CA INDEX NAME)



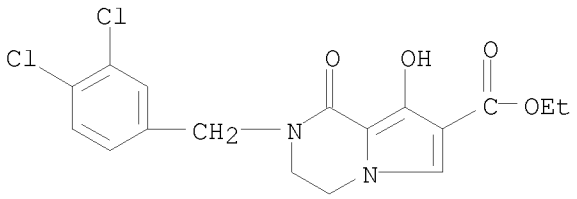
RN 701208-23-3 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-7-carboxylic acid, 2-[(3,4-difluorophenyl)methyl]-1,2,3,4-tetrahydro-8-hydroxy-1-oxo-, ethyl ester (CA INDEX NAME)



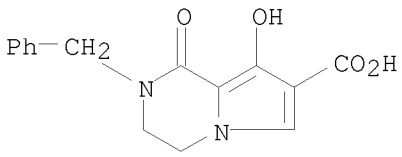
RN 701208-24-4 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-7-carboxylic acid, 2-[(4-chlorophenyl)methyl]-1,2,3,4-tetrahydro-8-hydroxy-1-oxo-, ethyl ester (CA INDEX NAME)



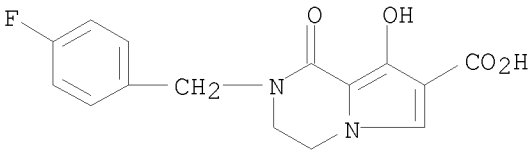
RN 701208-26-6 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-7-carboxylic acid, 2-[(3,4-dichlorophenyl)methyl]-1,2,3,4-tetrahydro-8-hydroxy-1-oxo-, ethyl ester (CA INDEX NAME)



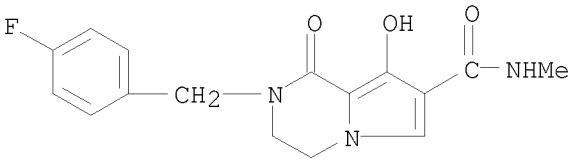
IT 701208-12-0P 701208-14-2P 701208-15-3P
701208-16-4P 701208-17-5P 701208-20-0P
701208-21-1P 701208-22-2P 701208-25-5P
701208-27-7P 701208-28-8P 701208-29-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxyoxo-tetrahydropyrrolopyrazine compds. as HIV integrase inhibitors)
RN 701208-12-0 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-7-carboxylic acid, 1,2,3,4-tetrahydro-8-hydroxy-1-oxo-2-(phenylmethyl)- (CA INDEX NAME)



RN 701208-14-2 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-7-carboxylic acid, 2-[(4-fluorophenyl)methyl]-1,2,3,4-tetrahydro-8-hydroxy-1-oxo- (CA INDEX NAME)



RN 701208-15-3 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-7-carboxamide, 2-[(4-fluorophenyl)methyl]-1,2,3,4-tetrahydro-8-hydroxy-N-methyl-1-oxo- (CA INDEX NAME)



RN 701208-16-4 CAPLUS
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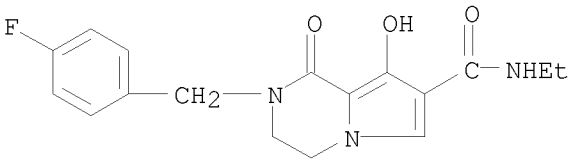
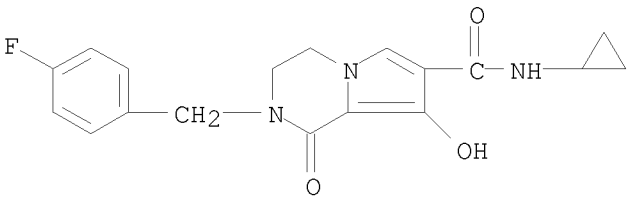
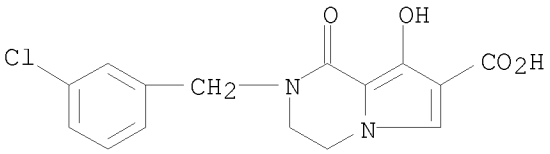


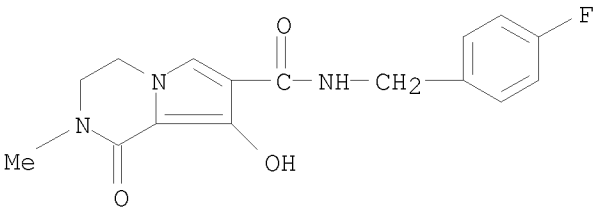
RN 701208-17-5 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-7-carboxamide, N-cyclopropyl-2-[(4-fluorophenyl)methyl]-1,2,3,4-tetrahydro-8-hydroxy-1-oxo- (CA INDEX NAME)



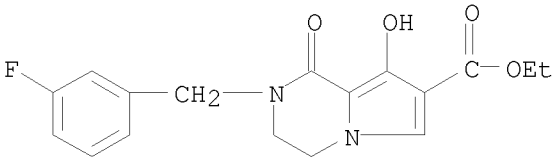
RN 701208-20-0 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-7-carboxylic acid, 2-[(3-chlorophenyl)methyl]-1,2,3,4-tetrahydro-8-hydroxy-1-oxo- (CA INDEX NAME)



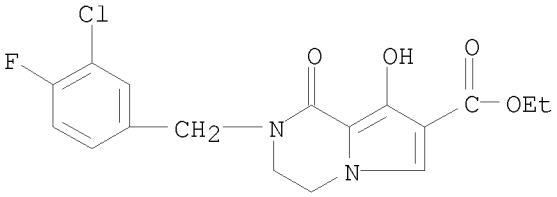
RN 701208-21-1 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-7-carboxamide, N-[(4-fluorophenyl)methyl]-1,2,3,4-tetrahydro-8-hydroxy-2-methyl-1-oxo- (CA INDEX NAME)



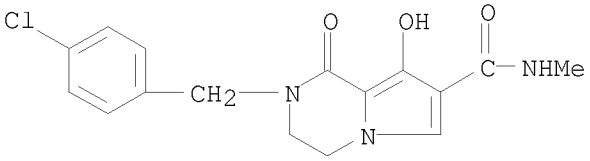
RN 701208-22-2 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-7-carboxylic acid, 2-[(3-fluorophenyl)methyl]-1,2,3,4-tetrahydro-8-hydroxy-1-oxo-, ethyl ester (CA INDEX NAME)



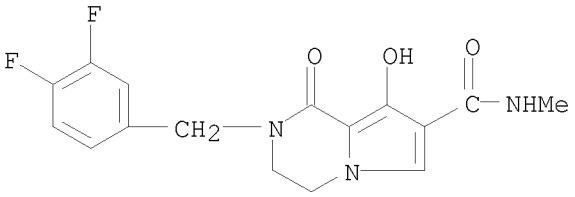
RN 701208-25-5 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-7-carboxylic acid, 2-[(3-chloro-4-fluorophenyl)methyl]-1,2,3,4-tetrahydro-8-hydroxy-1-oxo-, ethyl ester (CA INDEX NAME)



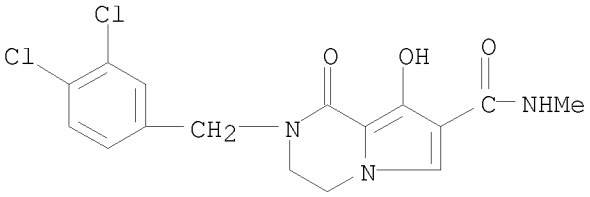
RN 701208-27-7 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-7-carboxamide, 2-[(4-chlorophenyl)methyl]-1,2,3,4-tetrahydro-8-hydroxy-N-methyl-1-oxo- (CA INDEX NAME)



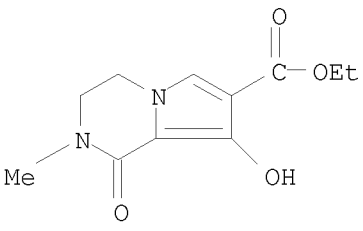
RN 701208-28-8 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-7-carboxamide, 2-[(3,4-difluorophenyl)methyl]-1,2,3,4-tetrahydro-8-hydroxy-N-methyl-1-oxo- (CA INDEX NAME)



RN 701208-29-9 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-7-carboxamide, 2-[(3,4-dichlorophenyl)methyl]-1,2,3,4-tetrahydro-8-hydroxy-N-methyl-1-oxo- (CA INDEX NAME)



IT 701208-31-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of hydroxyoxo-tetrahydropyrrolopyrazine compds. as HIV integrase inhibitors)
RN 701208-31-3 CAPLUS
CN Pyrrolo[1,2-a]pyrazine-7-carboxylic acid, 1,2,3,4-tetrahydro-8-hydroxy-2-methyl-1-oxo-, ethyl ester (CA INDEX NAME)



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L3          22 S L1 SSS FUL

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     FILE 'CAOLD' ENTERED AT 08:20:39 ON 27 NOV 2007

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L5           0 L3

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                                                     ENTRY    SESSION
FULL ESTIMATED COST                                   0.45     200.03

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                     ENTRY    SESSION
CA SUBSCRIBER PRICE                                   0.00      -3.90

STN INTERNATIONAL LOGOFF AT 08:20:53 ON 27 NOV 2007
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